

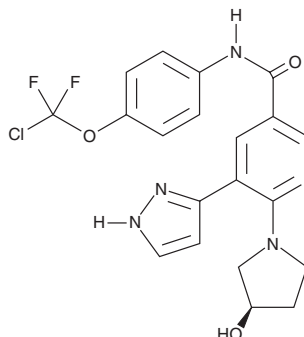
PRODUCT INFORMATION



Asciminib

Item No. 26126

CAS Registry No.: 1492952-76-7
Formal Name: N-[4-(chlorodifluoromethoxy)phenyl]-6-[[3R)-3-hydroxy-1-pyrrolidinyl]-5-(1H-pyrazol-3-yl)-3-pyridinecarboxamide
Synonym: ABL001
MF: C₂₀H₁₈ClF₂N₅O₃
FW: 449.8
Purity: ≥95%
UV/Vis.: λ_{max}: 317 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Asciminib is supplied as a crystalline solid. A stock solution may be made by dissolving the asciminib in the solvent of choice, which should be purged with an inert gas. Asciminib is soluble in organic solvents such as ethanol and DMSO. The solubility of asciminib in these solvents is approximately 90 mg/ml.

Description

Asciminib is an allosteric inhibitor of Abl1 (IC₅₀ = 0.45 nM).¹ It is selective for Abl1 over a panel of more than 60 additional kinases (IC₅₀s = >10 μM), as well as ion channels, nuclear receptors, G protein-coupled receptors (GPCRs), and transporters. Asciminib inhibits the proliferation of *Luc*-Ba/F3 cells transformed with wild-type Bcr-Abl1 or the drug-resistant mutant Bcr-Abl1^{T315I} (GI₅₀s = 1 and 25 nM, respectively), as well as other drug-resistant mutants.² It reduces tumor growth in a KCL-22 chronic myelogenous leukemia (CML) mouse xenograft model when administered at a dose of 3 mg/kg twice per day and induces tumor regression at doses of greater than or equal to 7.5 mg/kg twice per day.

References

1. Wylie, A.A., Schoepfer, J., Jahnke, W., *et al.* The allosteric inhibitor ABL001 enables dual targeting of BCR-ABL1. *Nature* **543(7647)**, 733-737 (2017).
2. Schoepfer, J., Jahnke, W., Berellini, G., *et al.* Discovery of asciminib (ABL001), an allosteric inhibitor of the tyrosine kinase activity of BCR-ABL1. *J. Med. Chem.* **61(18)**, 8120-8135 (2018).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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